

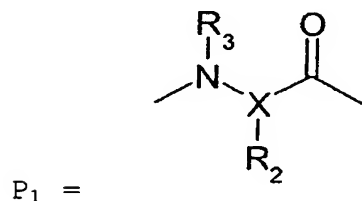
1. A compound of the general formula I

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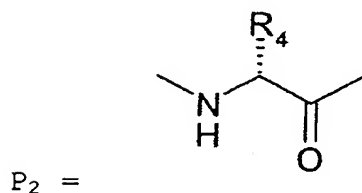
wherein

10 A is  $P_2 - P_1$  in which



and

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20  $R_1$  is H or  $-(CH_2)_aCOOR_6$ , in which  $a = 0, 1, 2, 3, 4$  or 5, preferably in which  $a = 0, 1$  or 2, where  $R_6$  is a branched or unbranched alkyl radical preferably having from 1 to 6 C atoms, in particular from 1 to 3 C atoms, especially ethyl;

25  $R_2$  is an H, a branched or unbranched alkyl radical having from 1 to 8 C atoms, preferably having from 1 to 3 C atoms, or  $-(CH_2)_cCOOR_8$ , in which  $c = 1, 2, 3$  or 4, where  $R_8$  is H or a branched or unbranched alkyl

- radical preferably having from 1 to 6 C atoms, in particular from 1 to 3 C atoms, especially ethyl, or
- $(\text{CH}_2)_d\text{-OR}_9$ , in which  $d = 1, 2, 3$  or  $4$ , where  $R_9$  is H, or
- $(\text{CH}_2)_e\text{R}_{10}$ , - $(\text{CH}_2)_e\text{-OR}_{10}$ , - $(\text{CH}_2)_e\text{-SR}_{10}$ , - $(\text{CH}_2)_e\text{-guanidino}$ , - $(\text{CH}_2)_e\text{-imidazole}$  or - $(\text{CH}_2)_e\text{NHR}_{10}$ , in which  $e = 1, 2, 3, 4$  or  $5$ , where  $R_{10}$  is H, a branched or unbranched alkyl radical having 1-16, in particular 1-8, especially 1-3, C atoms, or a substituted or unsubstituted aryl, heteroaryl, aralkyl or heteroaralkyl radical, where the alkyl radical preferably possesses from 1 to 16, in particular from 1 to 8, especially from 1 to 3, C atoms, and the aryl or heteroaryl radical preferably possesses from 4 to 14, in particular from 6 to 10, especially 6, C atoms, and preferably from 1 to 3 N as heteroatom, or
- $(\text{CH}_2)_k\text{O-CO-OR}_{16}$ , in which  $k = 1, 2, 3, 4, 5, 6, 7$  or  $8$ , where  $R_{16}$  is a branched or unbranched alkyl having 1-16, preferably 1-8, in particular 1-4, especially 1-2, C atoms, a substituted or unsubstituted aryl, heteroaryl, aralkyl or heteroaralkyl radical, or an adamantyl, a camphor or a cyclohexylmethyl radical, preferably benzyl;
- $R_3$  is H or - $(\text{CH}_2)_b\text{R}_7$ , in which  $b = 1, 2, 3, 4, 5, 6, 7$  or  $8$ , preferably in which  $b = 2$  or  $3$ , where  $R_7$  is H, a branched or unbranched alkyl radical having from 1 to 10 C atoms, preferably having from 1 to 3 C atoms, or a charged radical, preferably a - $(\text{CH}_2)_j\text{COOR}_{13}$ , - $(\text{CH}_2)_j\text{SO}_2\text{R}_{13}$ , or - $(\text{CH}_2)_j\text{NH}_2$ , or - $(\text{CH}_2)_j\text{-amidino}$ , - $(\text{CH}_2)_j\text{-hydroxyamidino}$  or - $(\text{CH}_2)_j\text{-guanidino}$  group in which  $j = 0, 1$  or  $2$ , where  $R_{13}$  is H or an alkyl radical preferably having from 1 to 6 C atoms, in particular from 1 to 4, especially

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ART 34 AMEND

- 54 -

ethyl, and with  $P_1$  being present in the L configuration in the structure A;

5  $R_4$  is a branched or unbranched alkyl radical having from 1 to 8, preferably from 1 to 3, C atoms,  $-(CH_2)_fOR_{11}$ ,  $-(CH_2)_fSR_{11}$ , or  $-(CH_2)_fNHR_{11}$  in which  $f = 1, 2, 3, 4, 5, 6, 7$  or 8, where  $R_{11}$  is H or  $-CO-OR_{17}$ , where  $R_{17}$  is a branched or unbranched alkyl having 1-16, preferably 1-8, in particular 1-4, especially 1-2, C atoms, a substituted or unsubstituted aryl, heteroaryl, aralkyl or heteroaralkyl radical, or an adamantyl, a camphor or a cyclohexylmethyl radical, preferably benzyl, and with  $P_2$  being present in the D configuration in the structure A;

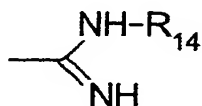
20  $R_5$  is  $-(CH_2)_g(CH_3)_h$ ,  $-(CH_2)_i$ -aryl, in which  $g + h = i = 0, 1, 2$  or 3,  $-SO_2R_{12}$ ,  $-COR_{12}$  or  $-COOR_{12}$ ; where  $R_{12}$  is a branched or unbranched alkyl having 1-16, preferably 1 to 8, in particular 1 to 4, especially 1 to 2, C atoms, a substituted or unsubstituted aryl, heteroaryl, aralkyl or heteroaralkyl radical, or an adamantyl, a camphor or a cyclohexylmethyl radical, preferably benzyl, where  $R_5$  can be modified with a charged or uncharged group, preferably a  $-(CH_2)_jCOOR_{13}$ ,  $-(CH_2)_jSO_2R_{13}$ ,  $-(CH_2)_jNH_2$ ,  $-(CH_2)_j$ -amidino,  $-(CH_2)_j$ -hydroxyamidino or  $-(CH_2)_j$ -guanidino group in which  $j = 0, 1$  or 2, where  $R_{13}$  is H or an alkyl radical preferably having from 1 to 6 C atoms, in particular ethyl;

35  $U$  is a phenyl or cyclohexyl radical or a heterophenyl or heterocyclohexyl radical preferably having at least one N, S or O as heteroatom, in particular pyridine, piperidine or pyrimidine;

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ART 34 AMEND

- 55 -

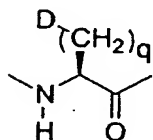
- 5 V is  $(CH_2)_n$  in which n is 0, 1, 2 or 3, preferably 0;  
X is N or CH, preferably CH;  
Y is N or  $(CH)_m$  in which m = 0 or 1, preferably CH;  
Z occurs in the 3 or 4 position and is an aminomethyl, a guanidino or an amidino group



- 10 where R<sub>14</sub> is H, OH, NH<sub>2</sub>, -COR<sub>15</sub> or -COOR<sub>15</sub>, where R<sub>15</sub> is a branched or unbranched alkyl radical having from 1 to 16, preferably from 1 to 8, in particular from 1 to 4, especially from 1 to 2, C atoms or a substituted or unsubstituted aryl or heteroaryl, aralkyl or heteroaralkyl radical, where the alkyl radical preferably possesses from 1 to 16, in particular from 1 to 8, especially from 1 to 4, and particularly preferably from 1 to 2, C atoms and the aryl or heteroaryl radical preferably possesses from 4 to 14, in particular from 6 to 10, especially 6, C atoms and, preferably, from 1 to 3 N as heteroatom;
- 15
- 20 characterized in that one or more charged radicals, preferably derived from -COOH, -CH(COOH)<sub>2</sub>, -SO<sub>2</sub>H, NH<sub>2</sub>, an amidino, hydroxyamidino, amidrazono or guanidino group, is/are present in the radicals R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> or R<sub>5</sub>;
- 25
- 30 or a compound of the general formula I in the form of a prodrug or in the form of its salt.
- 35 2. A compound as claimed in claim 1, in which an amino group-functionalized or carboxyl group-functionalized oligo- or polyalkylene glycol chain, in particular a poly- or oligoethylene

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ART 34 AMEND

- glycol chain or poly- or oligopropylene glycol chain, is coupled directly to a functional group of  $R_2$ , in particular by way of an  $-NH$  or a  $-CO$  group, with the formation of an amide bond at  $R_2$ , with the oligo- or polyalkylene glycol chain possessing a functional group, in particular a substituted or unsubstituted amino group and/or carboxyl group, at least at both ends, or with the oligo- or polyalkylene glycol chain possessing a functional group, in particular a substituted or unsubstituted amino group and/or carboxyl group, at one end and being present, at the other end, as an alkyl ether having 1-4 C atoms, in particular as methyl ether,
- with  $R_2$  preferably being
- (a)  $-(CH_2)_n-NH_2$  in which  $n$  is 1-5, preferably 4, or
- (b)  $-(CH_2)_n-COOH$  in which  $n$  is 1-5, preferably 1-3.
3. A compound as claimed in claim 1 or 2, wherein, after coupling the oligo- or polyalkylene glycol,  $P_1$  has the general formula II



(II),

where  $q$  is 0, 1, 2, 3, 4 or 5 and  $D$  is formula III

$E - F - G -$  (III)

where, when  $E$  is an  $H_2N$ ,  $HOOC-(CH_2)_n-CO-NH$ ,  $HOOC$  or  $H_2N-(CH_2)_n-NH-CO$  group,  $F$  is an oligo- or polyalkylene glycol of the general formula  $-(CH_2)_d-[O-CH_2-CH_2]_vO-(CH_2)_m-(NH-CO-CH_2-O-CH_2)_k-$  or  $-(CH_2)_d-[O-CH(CH_3)-CH_2]_vO-(CH_2)_m-(NH-CO-CH_2-O-CH_2)_k-$ , in

- 5 which d = 1, 2, 3 or 4, v = an integer from 1 to 1000, preferably from 2 to 250, m = 0, 1, 2, 3 or 4, and k = 0 or 1, or, when E is a CH<sub>3</sub>-O group, F is an oligo- or polyalkylene glycol chain of the general formula -(CH<sub>2</sub>)<sub>d</sub>-[O-CH<sub>2</sub>-CH<sub>2</sub>]<sub>v</sub>O-(CH<sub>2</sub>)<sub>m</sub>-(NH-CO-CH<sub>2</sub>-O-CH<sub>2</sub>)<sub>k</sub>- or -(CH<sub>2</sub>)<sub>d</sub>-[O-CH(CH<sub>3</sub>)-CH<sub>2</sub>]<sub>v</sub>-O-(CH<sub>2</sub>)<sub>m</sub>-(NH-CO-CH<sub>2</sub>-O-CH<sub>2</sub>)<sub>k</sub>-, in which d = 1, 2, 3 or 4, v = an integer from 1 to 1000, preferably from 1 to 250, m = 0, 1, 2, 3 or 4, and k = 0 or 1;
- 10 and G is -CO-NH- or -NH-CO-.
4. A compound as claimed in at least one of claims 1 to 3, wherein U is substituted at 1, 2 or 3 positions, preferably by a halogen, in particular
- 15 fluorine or chlorine, or a methyl, ethyl, propyl, methoxy, ethoxy or propoxy radical.
5. A compound as claimed in at least one of claims 1 to 4, wherein a carboxyl group is protected as an ester, preferably as an ethyl ester.
- 20 6. A compound as claimed in at least one of claims 1 to 5 in the form of a prodrug, with R<sub>9</sub> and/or R<sub>11</sub> in this case being an alkylcarbonyl, aralkylcarbonyl, alkyloxycarbonyl or aralkoxycarbonyl radical, with the alkyl radical preferably having from 1 to 6, in particular from 1 to 4, C atoms and the aryl radical preferably having from 5 to 8, in particular 6, C atoms.
- 30 7. A compound as claimed in at least one of claims 1 to 6, characterized in that the amidino group is in the 4 position in the amidinobenzylamide radical and in that P<sub>2</sub> is derived from the amino acid D-Ser and P<sub>1</sub> is derived from glycine, alanine, serine, aspartic acid or glutamic acid, and in that R<sub>5</sub> is an unsubstituted aryl- or aralkylsulfonyl radical, or such a radical
- 35 provided with a carboxyl group or carboxyalkyl

group, having from 1 to 16, preferably from 1 to 8, in particular from 1 to 4, especially from 1 to 2, C atoms in the alkyl radical and from 6 to 14, preferably from 6 to 10, in particular 6, C atoms in the aryl radical.

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8. A compound as claimed in at least one of claims 1 to 6, characterized in that the amidino group is in the 4 position in the amidinobenzylamide radical and in that P<sub>2</sub> is the amino acid D-Ser and P<sub>1</sub> is a natural or artificial, unsubstituted or substituted, basic amino acid in the L configuration, for example Lys, homoLys, Arg, norArg, homoArg, His, Orn, Orn(2-imidazoliny), Dab, 4-[(2-amino)pyrimidinyl]butyric acid, Dap, Ala[3-(2-pyrrolidinyl)], Ala[3-pyrrolidinyl-(2-N-amidino)], Ala[3-(N-piperazine-4-N-amidino)], Ala(4-Pip), Ala[4-Pip(N-amidino)], homoAla(4-Pip), Ala[3-Pip(N-amidino)], homoAla(3-Pip), homoAla[4-Pip(N-amidino)], Ala-(3-guanidino), Phe(3-amidino), Phe(4-amidino), Phe(3-NH<sub>2</sub>), Phe(4-NH<sub>2</sub>), Phe(3-guanidino), Phe(4-guanidino), Phe[4-(2-imidazoliny)], Phe[3-CH<sub>2</sub>-(guanidino)], Phe[4-CH<sub>2</sub>-(guanidino)], homoPhe(3-amidino), homoPhe(4-amidino), hPhe(3-NH<sub>2</sub>), hPhe(4-NH<sub>2</sub>), hPhe(3-guanidino), hPhe(4-guanidino), cis-Cha(4-NH<sub>2</sub>), trans-Cha(4-NH<sub>2</sub>), cis-homoCha(4-NH<sub>2</sub>), trans-homoCha(4-NH<sub>2</sub>), trans-Cha(4-CH<sub>2</sub>NH<sub>2</sub>) and trans-homoCha(4-CH<sub>2</sub>NH<sub>2</sub>), and in that R<sub>5</sub> is a sulfonyl group-provided aryl- or aralkylsulfonyl radical having from 1 to 16, preferably from 1 to 8, in particular from 1 to 4, especially from 1 to 2, C atoms in the alkyl radical and from 6 to 14, preferably from 6 to 10, in particular 6, C atoms in the aryl radical, which is bonded to the amino group of the D-Ser.

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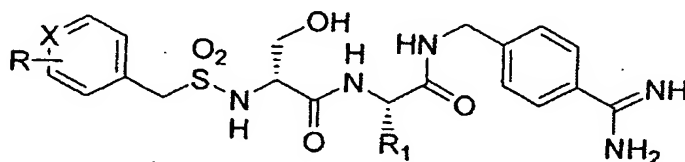
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9. A compound as claimed in claim 8, characterized in that P<sub>1</sub> is the amino acid Lys or Arg.

10. A compound as claimed in at least one of claims 1 to 9, characterized in that the substituent on the substituted aryl, heteroaryl, aralkyl or heteroaralkyl radical is a halogen, preferably fluorine, chlorine or bromine, in particular fluorine or chlorine.
- 5
11. A compound as claimed in at least one of claims 1 to 10, characterized in that a compound of the general formula I has the following structure:
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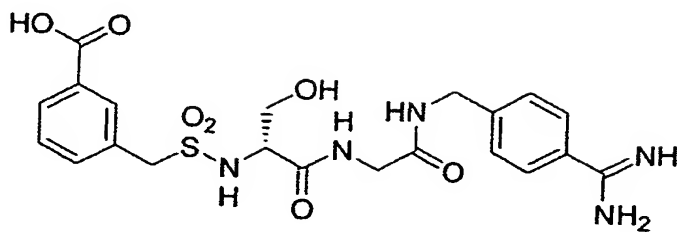


- 15 in which R is COOH, HOOC-(CH<sub>2</sub>)<sub>p</sub>- or R<sub>18</sub>OOC-(CH<sub>2</sub>)<sub>p</sub>- in which p = 1 and 2 and R<sub>18</sub> = methyl or ethyl, or COOMe in ortho, meta or para, or H, and X is CH and R<sub>1</sub> is H; or
- R is 4-COOH or 3-COOH, with X being CH and R<sub>1</sub> being H, CH<sub>3</sub> or CH<sub>2</sub>-OH; or
- 20 R is 4-COOH or 3-COOH, with X being CH and R<sub>1</sub> being H, CH<sub>3</sub> or CH<sub>2</sub>-OH; or
- R is 4-CN, with X being CH and R<sub>1</sub> being CH<sub>3</sub>; or
- R is 4-(NH<sub>2</sub>-CH<sub>2</sub>), with X being CH and R<sub>1</sub> being H; or
- 25 or
- R is H, with X being CH and R<sub>1</sub> being H, CH<sub>2</sub>-OH, CH<sub>2</sub>-O(Bzl), CH<sub>2</sub>-NH<sub>2</sub>, CH(OH)CH<sub>3</sub> or CH(OBzl)CH<sub>3</sub>; or
- R is 4-COOMe, with X being CH and R<sub>1</sub> being CH<sub>2</sub>-OH; or
- 30 R is 4-Cl, 4-Me, 4-F or 3,4-di-Cl, with X being CH and R<sub>1</sub> being H; or
- R is H, with X being N and R<sub>1</sub> being H.
12. A compound as claimed in at least one of claims 1 to 10, characterized in that a compound of the
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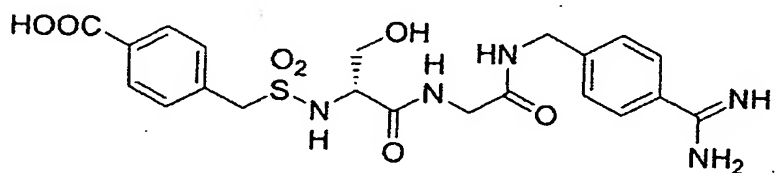
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ART 34 AMDE

general formula I has one of the following structures:



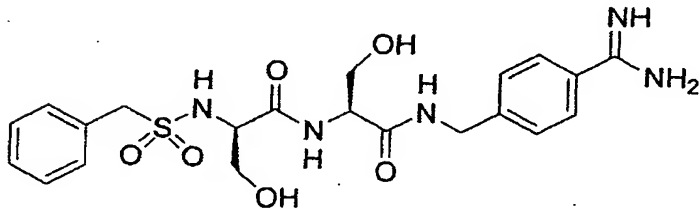
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or

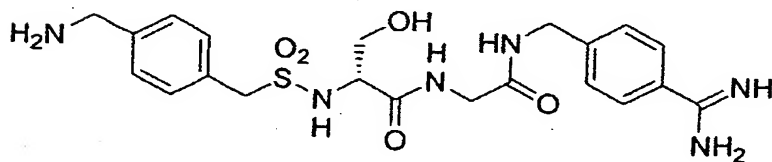


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or



or



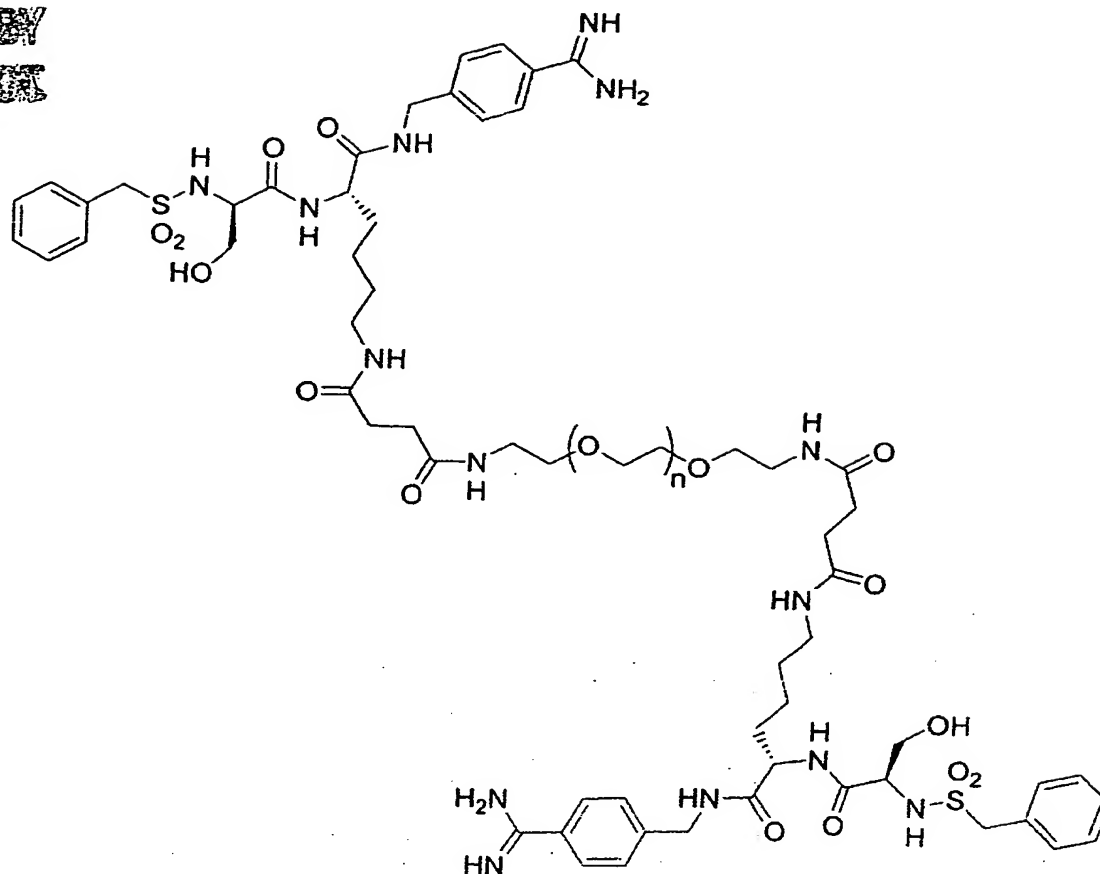
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13. A compound as claimed in at least one of claims 1 to 10, characterized in that a compound of the general formula I has one of the following structures:

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in which  $n = 2$  to 250.

- 5 14. A compound as claimed in at least one of claims 1-13, characterized in that the compounds are preferably present as salts, preferably with mineral acids, preferably as hydrochloride, or preferably as salts with suitable organic acids.
- 10 15. A compound as claimed in claim 14, characterized in that preferred salts of mineral acids are also sulfates and suitable organic acids are, for example, acetic acid, formic acid, methylsulfonic acid, succinic acid, malic acid or trifluoroacetic acid, with preferred salts of organic acids being acetates.
- 15
- 20 16. A process for preparing a compound as claimed in at least one of claims 1 to 15, characterized in

that the appropriate amino acids are sequentially coupled to a 4-acetyloxamidinobenzylamine, with either the N-terminal amino acid already carrying the R<sub>5</sub> radical or with this radical subsequently being bonded to it.

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17. A pharmaceutical which comprises a compound as claimed in at least one of claims 1 to 15 and also pharmaceutically suitable auxiliary substances and/or additives.

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18. A pharmaceutical as claimed in claim 17, wherein the pharmaceutical is used in the form of a tablet, of a sugar-coated tablet, of a capsule, of a pellet, of a suppository, of a solution, in particular of an injection solution or infusion solution, of eyedrops, nose drops and ear drops, of a juice, of a capsule, of an emulsion or suspension, of a globule, of a stylus, of an aerosol, of a powder, of a paste, of a cream or of an ointment.

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19. The use of a compound as claimed in at least one of claims 1 to 15, or of a pharmaceutical as claimed in claim 17 or 18, for the therapy or prophylaxis of a tumor, in particular for reducing the formation of tumor metastases, preferably in oral, subcutaneous, intravenous or transdermal form.

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20. The use of a compound as claimed in at least one of claims 1 to 15, or of a pharmaceutical as claimed in claim 17 or 18, for diagnosing a tumor.